

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
5 February 2004 (05.02.2004)

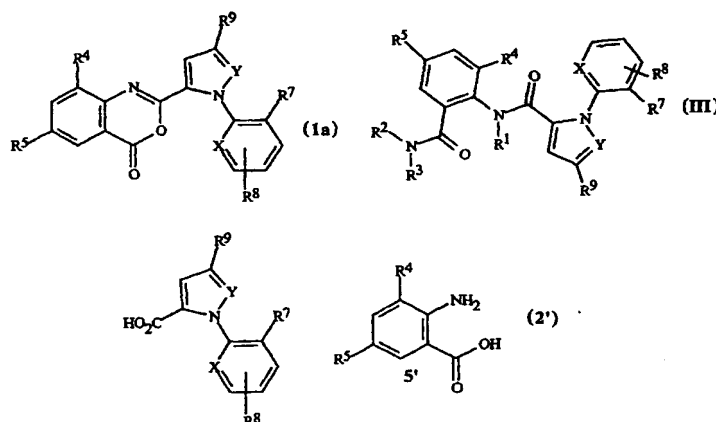
PCT

(10) International Publication Number
WO 2004/011447 A3

- (51) International Patent Classification⁷: C07D 413/14, 401/04
- (21) International Application Number: PCT/US2003/023821
- (22) International Filing Date: 29 July 2003 (29.07.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
60/400,352 31 July 2002 (31.07.2002) US
60/446,438 11 February 2003 (11.02.2003) US
- (71) Applicant (for all designated States except US): E.I. DU PONT DE NEMOURS AND COMPANY [US/US]; 1007 Market Street, Wilmington, DE 19898 (US).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): TAYLOR, Eric, deGuyon [US/US]; 27 Tenby Chase Drive, Newark, DE 19711 (US).
- (74) Agent: HEISER, David, E.; E.I. DU PONT DE NEMOURS AND COMPANY, LEGAL PATENT RECORDS CENTER, 4417 Lancaster Pike, Wilmington, DE 19805 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
— with international search report

[Continued on next page]

(54) Title: METHOD FOR PREPARING FUSED OXAZINONES FROM ORTHO-AMINO AROMATIC CARBOXYLIC ACID AND A CARBOXYLIC ACID IN THE PRESENCE OF A SULFONYL CHLORIDE AND PYRIDINE



(57) Abstract: A method for preparing a fused oxazinone is disclosed in which (1) a carboxylic acid is contacted with a sulfonyl chloride in the presence of an optionally substituted pyridine compound, the nominal mole ratio of sulfonyl chloride to carboxylic acid being from about 0.75 to 1.5; (2) the mixture prepared in (1) is contacted with an *ortho*-amino aromatic carboxylic acid in the presence of an optionally substituted pyridine compound, the nominal mole ratio of the *ortho*-amino aromatic carboxylic acid to carboxylic acid charged in (1) being from about 0.8 to 1.2; and (3) additional sulfonyl chloride is added to the mixture prepared in (2), the nominal mole ratio of additional sulfonyl chloride added in (3) to carboxylic acid charged in (1) being at least about 0.5. Also disclosed is a method for preparing a compound of Formula III, using a compound of Formula Ia that is characterized by preparing the fused oxazinone of Formula Ia by the method above, using a compound of the formula $LS(O)_2Cl$ as the sulfonyl chloride, a compound of Formula 2' as the carboxylic acid, and a compound of Formula 5' as the *ortho*-amino aromatic carboxylic acid (FORMULA 1a) (FORMULA III) (FORMULA 2') (FORMULA 5') wherein L, X, Y and R¹ through R⁹ are as defined in the disclosure.

WO 2004/011447 A3